09/ 895,975

09/895 975

=> d his

(FILE 'HOME' ENTERED AT 17:10:02 ON 02 SEP 2002)

FILE 'REGISTRY' ENTERED AT 17:10:13 ON 02 SEP 2002
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 6880 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:11:12 ON 02 SEP 2002
L4 367832 S CANCER OR CANCEROUS OR TUMOR OR NEOPLASTY
L5 27278 S TUBULIN OR MICROTUBULE?
L6 4391 S (MULTIPLE DRUG RESISTANCE) OR 'MDR'
L7 395706 S L4 OR L5 OR L6
L8 1071 S TRIAZOLOPYRIMIDIN?
L9 20 S L7 AND L8
L10 1686 S L3
L11 12 S L10 AND L7
L12 9 S L11 NOT L9

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	105.96	246.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.97	-17.97

STN INTERNATIONAL LOGOFF AT 17:15:41 ON 02 SEP 2002

09/ 895,975

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 09	JAPIO to be reloaded August 25, 2002
NEWS 20	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 21	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 22	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 23	Aug 26	Sequence searching in REGISTRY enhanced

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:10:02 ON 02 SEP 2002

09/ 895,975

=> file reg
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.21 | 0.21

FILE 'REGISTRY' ENTERED AT 17:10:13 ON 02 SEP 2002
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STRUCTURE FILE UPDATES: 1 SEP 2002 HIGHEST RN 446010-91-9
DICTIONARY FILE UPDATES: 1 SEP 2002 HIGHEST RN 446010-91-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Uploading 09895975.str

L1 STRUCTURE UPLOADED

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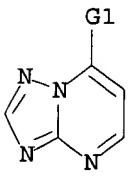
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N,OH,CN,X,Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:10:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 627 TO ITERATE

100.0% PROCESSED 627 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11038 TO 14042
PROJECTED ANSWERS: 6449 TO 8791

L2 50 SEA SSS SAM L1

=> s l1 ful

09/ 895,975

FULL SEARCH INITIATED 17:10:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 11977 TO ITERATE

100.0% PROCESSED 11977 ITERATIONS 6880 ANSWERS
SEARCH TIME: 00.00.03

L3 6880 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.66	140.87

FILE 'CAPLUS' ENTERED AT 17:11:12 ON 02 SEP 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Sep 2002 VOL 137 ISS 10
FILE LAST UPDATED: 1 Sep 2002 (20020901/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

```
=> s cancer or cancerous or tumor or neoplasty
        166776 CANCER
          4804 CANCEROUS
        259468 TUMOR
             0 NEOPLASTY
L4      367832 CANCER OR CANCEROUS OR TUMOR OR NEOPLASTY

=> s tubulin or microtubule?
         11273 TUBULIN
         21961 MICROTUBULE?
L5       27278 TUBULIN OR MICROTUBULE?

=> s (multiple drug resistance) or 'MDR'
        278242 MULTIPLE
        443435 DRUG
        853960 RESISTANCE
           721 MULTIPLE DRUG RESISTANCE
                 (MULTIPLE(W)DRUG(W)RESISTANCE)
          3835 'MDR'
L6        4391 (MULTIPLE DRUG RESISTANCE) OR 'MDR'

=> s l4 or l5 or l6
L7      395706 L4 OR L5 OR L6
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09/ 895,975

```
=> s triazolopyrimidin?
L8        1071 TRIAZOLOPYRIMIDIN?

=> s l7 and l8
L9          20 L7 AND L8

=> d l9 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/(N):y

L9   ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:461311  CAPLUS
DOCUMENT NUMBER:          137:33313
TITLE:                    Preparation of pyrazolo[4,3-e]1,2,4-triazolo[1,5-
                          c]pyrimidines and analogs as adenosine A3 receptor
                          modulators for therapeutic and diagnostic use
INVENTOR(S):              Baraldi, Pier Giovanni; Borea, Pier Andrea
PATENT ASSIGNEE(S):       Medco Research, Inc., USA
SOURCE:                   U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 154,435.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 6407236         B1   20020618       US 1999-379300   19990823
     WO 2000015231      A1   20000323       WO 1999-US21103  19990915
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9962482         A1   20000403       AU 1999-62482    19990915
     GB 2353527         A1   20010228       GB 2000-27879    19990915
     BR 9913766         A    20010605       BR 1999-13766    19990915
     DE 19983530        T    20011108       DE 1999-19983530 19990915
     CH 692132          A    20020228       CH 1999-1201     19990915
     JP 2002524519      T2   20020806       JP 2000-569815   19990915
     FI 2000002367      A    20010119       FI 2000-2367     20001027
     SE 2000003984      A    20001222       SE 2000-3984     20001101
     LU 90687           A1   20001219       LU 2000-90687    20001206
PRIORITY APPLN. INFO.:                  US 1998-154435   A2 19980916
                                        US 1999-379300   A  19990823
                                        WO 1999-US21103  W  19990915
OTHER SOURCE(S):          MARPAT 137:33313
GI
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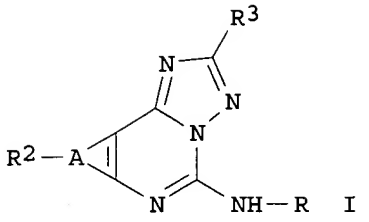
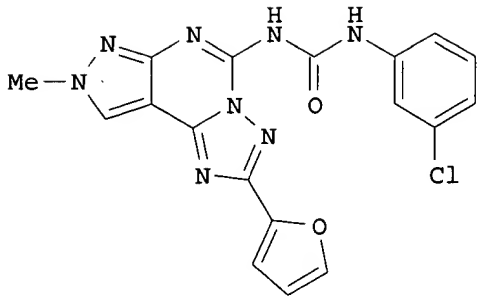


I



II

AB Title compds. I [wherein A = imidazole, pyrazole, or triazole; R = CXR1, CXN(R1)2, CXOR1, CXSR1, SOnR1, SOnSR1, or SOnN(R1)2; R1 = H, (hetero)aryl, heterocyclyl, alkanoyl, or (un)substituted alkyl, alkenyl, or alkynyl; or N(R1)2 = azetidinyl or 5-6 membered heterocyclyl; R2 = H or (un)substituted alkyl, alkenyl, aralkyl, or (hetero)aryl; R3 = (un)substituted (benzo)furanyl, (benzo)pyrrolyl, or (benzo)thiophenyl; X = O, S, or NR1; n = 0-2; or pharmaceutically acceptable salts thereof] were prepd. as selective A3 adenosine receptor agonists. Thus, 3-amino-1H-pyrazole-4-carbonitrile was methylated, treated with tri-Et orthoformate to give the imidate, and cyclized with 2-furoic acid hydrazide to give 8-methyl-2-(2-furyl)pyrazolo[4,3-e]1,2,4-triazolo[1,5-c]pyrimidine (45%). Amination (53%) and addn. of 3-chlorophenyl isocyanate (98%) afforded II, which exhibited binding affinity at the A1, A2, and A3 receptors with Ki values of 5,045 nM, >10,1000 nM, and 0.22 nM, resp. I are useful for the treatment disorders caused by excessive activation of the A3 receptor, such as hypertension, inflammation, mast cell degranulation, cardiac hypoxia, allergic disease, and for protection against cerebral ischemia (no data). In addn., I are useful in diagnostic applications to det. the relative binding of other compds. to the A3 receptor. For instance, the compds. can be labeled, for example with fluorescent or radiolabels, and the labels used in vivo or in vitro to det. the presence of **tumor** cells which possess a high concn. of adenosine A3 receptors.

REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:357008 CAPLUS

TITLE: Study of the biological effects and DNA damage exerted by a new dipalladium-Hmtpo complex on human **cancer** cells

AUTHOR(S): Akdi, Khalid; Vilaplana, Rosario A.; Kamah, Sanaa; Navarro, Jorge A. R.; Salas, Juan M.; Gonzalez-Vilchez, Francisco

CORPORATE SOURCE: Facultad de Quimica, Seccion de Quimica Bioinorganica, Departamento de Quimica Inorganica, Universidad de Sevilla, Seville, 41012, Spain

SOURCE: Journal of Inorganic Biochemistry (2002), 90(1-2), 51-60
CODEN: JIBIDJ; ISSN: 0162-0134

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The new dipalladium complex [Pd2(.mu.-mtpo-N3,N4)2(phen)2](NO3)2 (where phen=1,10-phenantroline; Hmtpo=5,7-dihydro-7-oxo-5-methyl[1,2,4] **triazolopyrimidine**), (Pd2-Hmtpo, or complex I), interacts effectively with DNA plasmid (pBS), as studied by CD spectroscopy (CD), causing large helix distortions, altering the direction of the main DNA

helix axis and producing unwinding of the DNA double helix. DNA damage induced by complex I was highly significant at 2.81 .mu.M (ovarian carcinoma TG cell line), as assessed by comet assay, a dose at which all treated nuclei showed more than 30% DNA migration to the comet tail. DNA damage effect is a consequence of genotoxicity and not a false pos. response caused by cytotoxicity. In vitro cytotoxic assay on the two human **tumor** cell lines TG and BT-20 (breast carcinoma), shows that doses of 0.47, 1.41 and 2.81 .mu.M produce significant antiproliferative effects after 4 days of treatment compared with control. Complex I was highly cytotoxic at 2.81 .mu.M causing an inhibition of viable cells of 65.5%. Cisplatin (cis-DDP) exhibits lower cytotoxic activity in TG cells than dipalladium complex (a cisplatin dose of 6.67 .mu.M inhibits 30.3%) and does not cause migration of DNA to comet tail.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:31452 CAPLUS
DOCUMENT NUMBER: 136:96032
TITLE: Substituted **triazolopyrimidines** as anticancer agents
INVENTOR(S): Schmitt, Mark R.; Kirsch, Donald R.; Harris, Jane E.; Beyer, Carl F.; Pees, Klaus-Juergen; Carter, Paul; Pfrengle, Waldemar; Albert, Guido
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 405 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002563	A2	20020110	WO 2001-US20672	20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001073062	A5	20020114	AU 2001-73062	20010628
US 2002068744	A1	20020606	US 2001-895975	20010629

PRIORITY APPLN. INFO.: US 2000-215585P P 20000630
WO 2001-US20672 W 20010628

OTHER SOURCE(S): MARPAT 136:96032

AB A method is provided for treating or inhibiting the growth of **cancerous tumor** cells and assocd. diseases in a mammal in need thereof which comprises administering to the mammal an effective amt. of a substituted **triazolopyrimidine** deriv. or a pharmaceutically acceptable salt thereof. Also provided is a method for treating or inhibiting the growth of **cancerous tumor** cells and assocd. diseases in a mammal in need thereof by interacting with **tubulin** and **microtubules** and promoting **microtubule** polymn. which comprises administering to the mammal an effective amt. of a substituted **triazolopyrimidine** deriv. or a pharmaceutically acceptable salt thereof.

L9 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:227537 CAPLUS

DOCUMENT NUMBER: 132:262172
TITLE: Use of neoangiogenesis markers for diagnosis and treatment of tumors
INVENTOR(S): Krause, Werner; Muschick, Peter
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018439	A2	20000406	WO 1999-EP7198	19990929
WO 2000018439	A3	20000914		
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, ES, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19845798	A1	20000413	DE 1998-19845798	19980929

PRIORITY APPLN. INFO.: DE 1998-19845798 A 19980929

AB Neoangiogenesis markers (i.e. antibodies or receptors for e.g. vascular endothelial growth factor, placenta growth factor, acidic or basic FGF, transforming growth factor .alpha. or .beta., hepatocyte growth factor, insulin-like growth factor I, glycoprotein B61, protein LERK-1, flk-1 receptor, etc.) or partial sequences thereof and antiangiogenic compds. and factors such as paclitaxel, endostatin, fibronectin peptide, and fumagillin are conjugated with active agents such as chemotherapeutic agents, radiosensitizers, photosensitizers, antibodies, oligonucleotides, radioactive metal complexes, etc., which may be bound to carriers, for treatment of tumors. Likewise, neoangiogenesis markers may be conjugated to diagnostic agents such as MRI, radiog., ultrasound, or near-IR contrast agents for **tumor** diagnosis. Thus, N',N',N''',N'''-tetrakis(tert-butoxycarboxymethyl)-N''-(hydroxycarboxymethyl)diethylenetriamine was converted to its N-hydroxysuccinimide ester, coupled to a Thy-1 antibody, complexed with 186Re, and injected i.v. into rabbits for detection of implanted VX2 tumors by scintigraphy with a gamma camera.

L9 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:190930 CAPLUS
DOCUMENT NUMBER: 132:217158
TITLE: 1,2,4-Triazolo[1,5-c]pyrimidine adenosine A3 receptor modulators, preparation thereof, and therapeutic and diagnostic use
INVENTOR(S): Baraldi, Pier Giovanni; Borea, Pier Andrea
PATENT ASSIGNEE(S): Medco Research Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015231	A1	20000323	WO 1999-US21103	19990915
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,				

MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6407236	B1	20020618	US 1999-379300	19990823
AU 9962482	A1	20000403	AU 1999-62482	19990915
GB 2353527	A1	20010228	GB 2000-27879	19990915
BR 9913766	A	20010605	BR 1999-13766	19990915
DE 19983530	T	20011108	DE 1999-19983530	19990915
JP 2002524519	T2	20020806	JP 2000-569815	19990915
FI 2000002367	A	20010119	FI 2000-2367	20001027
SE 2000003984	A	20001222	SE 2000-3984	20001101
LU 90687	A1	20001219	LU 2000-90687	20001206

PRIORITY APPLN. INFO.: US 1998-154435 A 19980916
US 1999-379300 A 19990823
WO 1999-US21103 W 19990915

OTHER SOURCE(S): MARPAT 132:217158

AB The title compds. (Markush included), which have selective A3 adenosine receptor agonist activity, are provided. These compds. can be used in a pharmaceutical compn. to treat disorders caused by excessive activation of the A3 receptor, or can be used in a diagnostic application to det. the relative binding of other compds. to the A3 receptor. The compds. can be labeled, for example with fluorescent or radiolabels, and the labels used in vivo or in vitro to det. the presence of **tumor** cells which possess a high concn. of adenosine A3 receptors.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:24527 CAPLUS
DOCUMENT NUMBER: 132:288463
TITLE: Inhibition of the CD40 pathway of monocyte activation by **triazolopyrimidine**
AUTHOR(S): Zhou, Ling; Ismaili, Jamila; Stordeur, Patrick; Thielemans, Kris; Goldman, Michel; Pradier, Olivier
CORPORATE SOURCE: Laboratories of Hematology and Immunology-Transfusion, Universite Libre de Bruxelles, Brussels, B-1070, Belg.
SOURCE: Clinical Immunology (Orlando, Florida) (1999), 93(3), 232-238
CODEN: CLIIFY; ISSN: 1521-6616
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Blockade of the CD40/CD40L pathway of monocyte/macrophage activation represents a promising strategy for the treatment of several inflammatory disorders. So far, most pharmacol. agents developed for that purpose target CD40L (CD154) expressed on activated T cells. Herein, the authors provide evidence that **triazolopyrimidine**, a chem. compd. primarily developed for the prevention of arterial thrombosis, strongly inhibits the response of human monocytes to CD40 ligation. First, the authors found that **triazolopyrimidine** inhibits the prodn. of IL-12, TNF-.alpha., and IL-6 by monocytes activated by coculture with fibroblasts transfected with the CD40L gene as well as the induction of procoagulant activity at their membrane. This was related to a decreased expression of CD40 on monocytes exposed to **triazolopyrimidine**, an effect that was already apparent at the mRNA level. Furthermore, the addn. of **triazolopyrimidine** to monocytes cultured with IL-4 and GM-CSF prevented their differentiation into fully competent dendritic cells (DC) as DC differentiated in the presence of **triazolopyrimidine** expressed less CD40 at their surface and were profoundly deficient in the prodn. of IL-12 upon exposure to CD40L

transfectants. The authors conclude that **triazolopyrimidine** strongly inhibits the CD40 pathway of monocyte activation at least in part by down-regulating the gene expression of CD40. (c) 1999 Academic Press.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

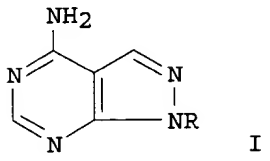
L9 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:708500 CAPLUS
DOCUMENT NUMBER: 131:347861
TITLE: Transgenic plants tolerant of herbicidal inhibitors of porphyrin biosynthesis
INVENTOR(S): Nakajima, Hiroki; Nagasawa, Akitsu
PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan
SOURCE: Eur. Pat. Appl., 119 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 953646	A2	19991103	EP 1999-108463	19990430
EP 953646	A3	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9923867	A1	19991125	AU 1999-23867	19990421
ZA 9902837	A	20001023	ZA 1999-2837	19990421
JP 2000312586	A2	20001114	JP 1999-121955	19990428
CN 1236010	A	19991124	CN 1999-105300	19990430
BR 9902056	A	20000509	BR 1999-2056	19990430
PRIORITY APPLN. INFO.:			JP 1998-120553 A	19980430
			JP 1998-281127 A	19981002
			JP 1998-330981 A	19981120
			JP 1999-54730 A	19990302

OTHER SOURCE(S): MARPAT 131:347861
AB Methods of developing plants resistant to inhibitors of porphyrin biosynthesis used as herbicides in weed control are described. The methods use involve expression or over expression of genes for derivs. of porphyrin biosynthetic enzymes that can bind the herbicide but that are not enzymically active. The Rhodobacter sphaeroides bchH gene and the protoporphyrinogen oxidase gene of soybean were cloned and expressed in Escherichia coli. Expression of these genes in Escherichia coli increased the growth rate in the presence of an unspecified inhibitor of porphyrin biosynthesis. Expression of the bchH gene in tobacco was shown to increase resistance to inhibitors of porphyrin biosynthesis. A deletion variant of the tobacco homolog of the bchH gene product was also shown to have a protective effect.

L9 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:169612 CAPLUS
DOCUMENT NUMBER: 126:238238
TITLE: Synthesis of certain alkenyl purines and purine analogs as inhibitors of **tumor** necrosis factor alpha (TNF.alpha.)
AUTHOR(S): Rao, T. Sudhakar; Ojwang, Joshua O.; Marshall, Helene B.; Revankar, Ganapathi R.
CORPORATE SOURCE: Aronex Pharmaceuticals, Inc., The Woodlands, TX, 77380, USA
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(1), 257-262
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

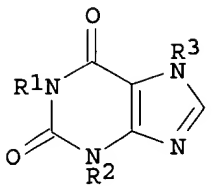
AB The prepn. of 2-penten-1-yl and 3-methyl-2-buten-1-yl derivs. of adenine, 7-deazaadenine, 2-aminopurine, 4-aminopyrazolo[3,4-b]pyrimidine and 7-amino-v-triazolo[4,5-d]pyrimidine is described. The synthesis of the adenine and deazaadenine derivs. was accomplished by a functional group transformation reaction, whereas the synthesis of rest of the compds. was performed by the alkylation of the sodium salt of the heterocycles with alkenyl bromides. These alkenyl derivs. prepd. as congeners of pentoxifylline (methylxanthine) were evaluated for their anti-**tumor** necrosis factor .alpha. activity in human monocytic leukemia cells. Only the pyrazolopyrimidines I (R = CH2CH:CHEt, CH2CH:CMe2) exhibited significant activity (IC50 = 2.6 - 4.7 .mu.g/mL) and a poor toxicity profile (TC50 = 6.9 - 13.1 .mu.g/mL) in this assay. In peripheral blood mononuclear cells, I inhibited **tumor** necrosis factor .alpha. prodn. in a dose dependent manner.

L9 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:767627 CAPLUS
DOCUMENT NUMBER: 124:21803
TITLE: Method and agents for preventing tissue injury from hypoxia
INVENTOR(S): Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.
PATENT ASSIGNEE(S): Ce;; Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513075	A1	19950518	WO 1994-US12821	19941114
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9510907	A1	19950529	AU 1995-10907	19941114
EP 728003	A1	19960828	EP 1995-901808	19941114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5856331	A	19990105	US 1997-948747	19971010

PRIORITY APPLN. INFO.: US 1993-152117 19931112
WO 1994-US12821 19941114
US 1994-353756 19941212

OTHER SOURCE(S): MARPAT 124:21803
GI



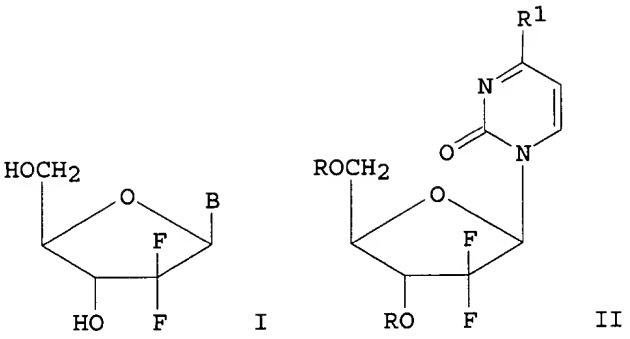
I

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and **tumor** necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

L9 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:457886 CAPLUS
DOCUMENT NUMBER: 121:57886
TITLE: 2'-deoxy-2',2'-difluoro-(4-substituted pyrimidine) nucleosides having antiviral and anti-**cancer** activity and intermediates
INVENTOR(S): Hertel, Larry Wayne; Kroin, Julian Stanley
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 576230	A1	19931229	EP 1993-304819	19930621
EP 576230	B1	19960424		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9341348	A1	19931223	AU 1993-41348	19930618
AU 664096	B2	19951102		
CA 2098875	AA	19931223	CA 1993-2098875	19930621
NO 9302289	A	19931223	NO 1993-2289	19930621
BR 9302430	A	19940111	BR 1993-2430	19930621
HU 64769	A2	19940228	HU 1993-1824	19930621
JP 06056876	A2	19940301	JP 1993-149170	19930621
CN 1084177	A	19940323	CN 1993-107739	19930621
AT 137243	E	19960515	AT 1993-304819	19930621
ES 2087657	T3	19960716	ES 1993-304819	19930621
US 5430026	A	19950704	US 1993-146368	19931029

PRIORITY APPLN. INFO.: US 1992-902314 19920622
OTHER SOURCE(S): MARPAT 121:57886
GI

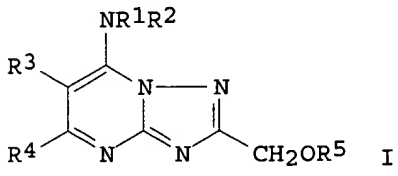


AB Title compds. I [B = pyrimidine, tetrazolopyrimidine, **triazolopyrimidine**, triazinopyrimidine, imidazopyrimidine] were prepd. Thus, the nucleoside II [R = SiMe2CMe3, R1 = 1,2,4-triazol-1-yl] was treated with NH2OH and deblocked to give II [R = H, R1 = NHOH] which had an IC50 against human leukemia cells of 0.086 .mu.g/mL and an IC50 against HSV-1 of 0.7 .mu.g/mL. Pharmaceutical formulations are also reported.

L9 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:81809 CAPLUS
DOCUMENT NUMBER: 114:81809
TITLE: Preparation of 7-amino-2-(hydroxymethyl)-s-triazolo[1,5-a]pyrimidine derivatives as cardiovascular agents
INVENTOR(S): Shimizu, Shinichiro
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02212488	A2	19900823	JP 1989-32929	19890213

OTHER SOURCE(S): MARPAT 114:81809
GI



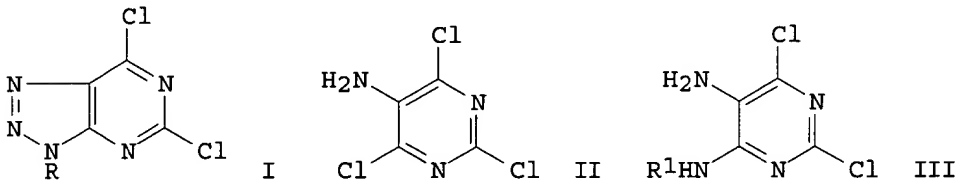
AB The title derivs. I (R1, R2 =H, lower alkyl, aralkyl; R3 = H, lower alkyl; R4 = H, lower alkyl, CF3; R3R4 may be alkylene; R5 = H, NO2, ester residue of org. carboxylic acids, CONR6R7; R6, R7 = H, lower alkyl) are prepd. as drugs for treatment of cardiovascular disorders, esp. cerebral ischemic diseases such as arteriosclerosis, cerebral and myocardial infarction, senile dementia, hyperlipemia, etc. I show coronary vasodilatory

activity, inhibition on synthesis of prostaglandins and thromboxane A2, and hypolipemic activity. I are also useful as inhibitors for **tumor** metastasis, ulcer inhibitors, drugs for skin diseases, and hair growth. A DMF soln. of 160 g 2-(hydroxymethyl)-5-methyl-s-triazolo[1,5-a]-pyrimidin-7-ol was treated with Ac2O and p-MeC6H4SO3H at 70.degree. for 22 h to give 120 g 2-(acetoxymethyl)-5-methyl-s-triazolo[1,5-a]pyrimidin-7-ol, 60 g of which was further treated with a reaction mixt. of POCl3 and PhNMe2 at 50-60.degree. for 1 h to give 63 g 2-(acetoxymethyl)-5-methyl-7-chloro-s-triazolo[1,5-a]pyrimidine (II). Et2NH was added dropwise to an EtOH suspension of 24 g II at 0.degree. over 15 min and the reaction mixt. was further stirred at room temp. for 1 h to give 25 g I (R1 = R2 = Et, R3 = H, R4 = Me, R5 = Ac).

L9 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:472755 CAPLUS
DOCUMENT NUMBER: 101:72755
TITLE: 3-Substituted-5,7-dichlorotriazolopyrimidine derivatives
PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59062593	A2	19840410	JP 1982-171171	19820930
JP 03003674	B4	19910121		

OTHER SOURCE(S): CASREACT 101:72755
GI



AB Title derivs. I (R = Me, HOCH2CH2, PhCH2, Ph, 4-ClC6H4, 4-FC6H4, 4-MeC6H4, 4-MeOC6H4, 4-O2NC6H4, 3-F3CC6H4, 3-MeO2CC6H4) were prepd. by, e.g., reaction of II with R1NH2 [R1 = (hydroxy)alkyl, PhCH2] followed by diazotization and cyclization of the resulting III. Anticarcinogen test data on I were shown against Sarcoma 180 ascite **tumor** cells in mice. Thus, autoclaving 1 g II with 10 g 40% aq. MeNH2 in dioxane 24 h at 100.degree. gave 64% III (R1 = Me) (IV). Addn. of 0.12 g NaNO2 in H2O to a mixt. of 0.3 g IV and 1 mL 2N HCl in ice-cooled H2O and stirring 15 min with ice cooling and 2 h at room temp. gave 73% I (R = Me).

L9 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:472754 CAPLUS
DOCUMENT NUMBER: 101:72754
TITLE: 3,5,7-Trisubstituted-**triazolopyrimidine** derivatives
PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

09/ 895,975

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59062595	A2	19840410	JP 1982-171173	19820930
JP 03066310	B4	19911016		

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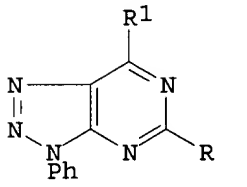
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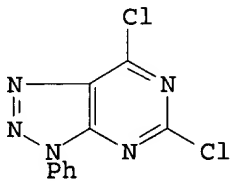
II

AB Forty-nine title derivs. I [R = halo, alkoxy, PhCH2O, (un)substituted NH2, PhNHNH; R1 = alkoxy, PhCH2O, (un)substituted PhO, (un)substituted NH2, etc.] were prepd. by, e.g., reaction of II with R2H (R2 = R, R1). Anticarcinogen test data on I were shown against Sarcoma 180 ascite **tumor** cells in mice. Thus, stirring 0.3 g II with 60 mL MeOH and 1.7 g K2CO3 20 h at room temp. gave 83% I (R = R1 = MeO).

L9 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:472753 CAPLUS
DOCUMENT NUMBER: 101:72753
TITLE: 3,5-Disubstituted **triazolopyrimidine** derivatives
PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59062594	A2	19840410	JP 1982-171172	19820930
JP 03003675	B4	19910121		

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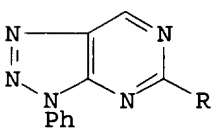
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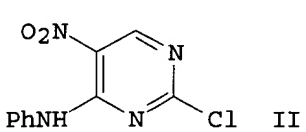
II

AB Title derivs. I (R = Cl, MeO, PhO, MeNH, PhCH2S, HO, EtO, PhCH2NH, Me2N, pyrrolidino) were prepd. by redn. of II, diazotization-cyclization, and optional reaction with R1H (R1 = R except Cl). Anticarcinogen test data on I were shown against Sarcoma 180 ascite **tumor** cells in mice. Thus, hydrogenation of 1 g II in EtOH contg. 1 g Raney Ni with 300-350 mL H, filtration, concn., dissoln. in 2N HCl-H2O-AcOH, addn. of 0.16 g NaNO2 in H2O during 15 min under ice cooling, and stirring 30 min under ice

cooling 1 h at room temp. gave 0.48 g I (R = Cl) (III). Stirring 0.3 g III with 30 mL MeOH and 0.3 g K2CO3 4 h at room temp. gave 58% I (R = MeO).

L9 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:122819 CAPLUS
DOCUMENT NUMBER: 96:122819
TITLE: 7-Substituted **triazolopyrimidine** derivatives
PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56131587	A2	19811015	JP 1980-33400	19800318
JP 63004544	B4	19880129		

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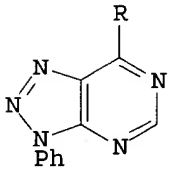


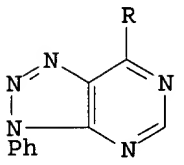
I

AB Title derivs. I [R = tosyl, CH2COPh, CH2CO2Et, CONH2, CONHCHMeEt, CH2CO2Me, cyano, CH(CO2Et)2, CHPhCN, CH(COMe)CO2Et, CHPhCO2Me, CONHMe, CONHC5H11, CONHPh] were prepd. and used as anticarcinogenics (data given in mice against Sarcoma 180 ascite **tumor** cells and Ehrlich **tumor** cells). Thus, stirring 2 g 7-chloro-3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidine with 2 g 4-MeC6H4SO2Na in DMF 12 min at room temp. gave 41% I (R = tosyl).

L9 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:69024 CAPLUS
DOCUMENT NUMBER: 96:69024
TITLE: **Triazolopyrimidine** derivatives
PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56131586	A2	19811015	JP 1980-33399	19800318
JP 63004543	B4	19880129		

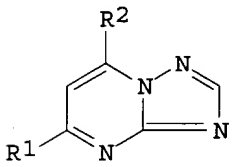
GI



I, R=R1
II, R=X

AB **Triazolopyrimidines** I [R1 = EtO, PhNHNH, MeO, PhO, 4-O2NC6H4O, 2,6-(OHC)(MeO)C6H3O, 2-EtOC6H4O, H2NNH, p-ClC6H4NHNH, HOCH2CH2NH, (HOCH2CH2)2N, (ClCH2CH2)2N, PhCH2NH] were prepd. by substitution reaction of II (X = halo, cyano, tosyl) with R1H. I had anticancer activity (data given in mice against Sarcoma 180 ascite **tumor** cells and Ehrlich **tumor** cells). Thus, stirring Na and II (X = Cl) in EtOH 10 min at room temp. gave 77% I (R1 = EtO).

L9 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:497710 CAPLUS
DOCUMENT NUMBER: 95:97710
TITLE: Synthesis of some 5,7-substituted s-triazolo[1,5-a]pyrimidines and their antineoplastic activity
AUTHOR(S): Novikova, A. P.; Chechulina, L. A.; Anoshina, G. M.; Barybin, A. S.
CORPORATE SOURCE: Ural. Politekh. Inst., Sverdlovsk, USSR
SOURCE: Khim.-Farm. Zh. (1981), 15(4), 31-5
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

AB The title compds. I [R1 = Cl, R2 = NHNH2, NHN:CH(CHOH)4CH2OH, NHCH2Ph, N3; R1 = NHNH2, R2 = NHNH2, NHCH2Ph; R1 = R2 = NHN:CH(CHOH)4CH2OH; R1 = NH2, morpholino, SH, R2 = NHCH2Ph; R1 = R2 = phthalimidoethylthio, SCH2CH2NH2, SH] were obtained by appropriate substitution reactions of I (R1 = R2 = Cl) and their neoplasm inhibiting properties were detd. I (R1 = NHNH2, R2 = NHCH2Ph) was effective against AK 755; I (R1 = morpholino, R2 = NHCH2Ph) against Sarcoma 37; and I (R1 = R2 = phthalimidoethylthio) against Lewis lung **cancer**.

L9 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:50802 CAPLUS
DOCUMENT NUMBER: 86:50802
TITLE: Preventing metastasis and primary **tumor** growth of H. Ep. No. 3
INVENTOR(S): Shen, Ysung-Ying; Gitterman, Charles O.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

09/ 895,975

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3991192	A	19761109	US 1975-600554	19750731

PRIORITY APPLN. INFO.: US 1974-467239 19740506

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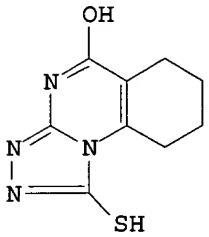


I

AB 1-Mercapto-5-hydroxy-6,7-tetramethylene-s-triazolo[3,4-b]pyrimidine (I) [61413-52-3] prevents in ovo metastasis of human epidermoid carcinoma and exhibits antitumor activity against primary human epidermoid carcinoma and other tumors, such as adenocarcinoma and sarcoma. Dosage units contg. 100-500 mg I were recommended.

L9 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1972:126928 CAPLUS

DOCUMENT NUMBER: 76:126928

TITLE: v-Triazolo[4,5-d]pyrimidines (8-azapurines). VIII. Synthesis, from 1,2,3-triazoles, of 1- and 2-methyl derivatives of 5,7-disubstituted v-triazolo[4,5-d]pyrimidines (7- and 8-methyl 2,6-disubstituted 8-azapurines)

AUTHOR(S): Albert, Adrien; Taguchi, Hiroyasu

CORPORATE SOURCE: Dep. Med. Chem., John Curtin Sch. Med. Res., Canberra, Aust.

SOURCE: J. Chem. Soc., Perkin Trans. 1 (1972), (4), 449-56
CODEN: JCPRB4

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB 4-Amino-1-methyl-1H-1,2,3-triazole-5-carboxamide was fused with thiourea to give 5-mercapto-1-methyl-1H-v-triazolo[4,5-d]pyrimidin-7(6H)-one (I) which was methylated and oxidized to give the 5-(methylsulfonyl) analog (II); this, when heated with NaOMe or NH3, gave the 5-methoxy and 5-amino compds. resp. 5-Amino-2-methyl-2H-1,2,3-triazole-4-carboxamide similarly gave, via the 5-mercapto compd. (III), 5-(methylsulfonyl)-2-methyl-2H-v-triazolo[4,5-d]pyrimidin-7(6H)-one (IV), which was converted into the 5-methoxy, 5-ethoxy, 5-amino (V), 5-(methylamino), and 5-(dimethylamino) analogs; a by-product of the reaction of IV with MeNH2 was 5-amino-2-methyl-N-[bis(methylamino)methylene]-2H-1,2,3-triazole-4-carboxamide. Alk. hydrolysis of II and IV gave the corresponding 5,7-diones; a by-product of the hydrolysis of II was u-methyl-4-ureido-1H-1,2,3-triazole-5-carboxylic acid. I and III was converted into the corresponding 5,7-bis(methylthio) compds., which gave 7-amino-5-(methylthio) compds. on heating with NH3-EtOH. 5,7-Diamino compds. were prepd. by heating the derived sulfones with NH3-EtOH; in contrast, treatment with NaOMe and aq. alkali gave 7-amino-5-methoxy and 7-amino-5-oxo compds. resp. 5,7-Dichloro-2-methyl-2H-v-triazolo[4,5-d]pyrimidine, prepd. from the appropriate 5,7-dione, gave the 5,7-diamine with NH3-EtOH. Ionization consts. and spectra of the compds. were recorded. V inhibited the Ehrlich ascites **tumor** and the

09/ 895,975

Ridgeway osteogenic **tumor** in mice.

L9 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:414808 CAPLUS
DOCUMENT NUMBER: 73:14808
TITLE: Substances with antineoplastic activity. XLI. .delta.-(8-Aza-6-purinylthio)valeric acid and some of its 9-alkyl and 9-cycloalkyl derivatives
AUTHOR(S): Kotva, R.; Semonsky, Miloslav; Vachek, Jaroslav; Jelinek, Vaclav
CORPORATE SOURCE: Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE: Collect. Czech. Chem. Commun. (1970), 35(5), 1610-13
CODEN: CCCCAK
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB I (R = H, Me, Bu, C6H13, cyclopentyl, cyclohexyl) were obtained in 81-97% yield from .delta.-(4,5-diamino-6-pyrimidinylthio)valeric acid and its 4-(cycloalkylamino) analogs and HNO2. Condensation of the corresponding 4-(cycloalkylamino)-5-amino-6-mercaptopyrimidines with Me .delta.-bromovalerate in aq. MeOH contg. NaOH and alk. hydrolysis of the crude Me esters afforded 54-78% II (R as above). I (R = C6H13) inhibited the growth of the S 37 sarcoma and extended the survival of mice. I (R = cyclohexyl) and II (R = C6H13) either suppressed the growth of some tumors or extended the life span of animals with a transplanted **tumor**. The other compds. were without effect.

=> d his

(FILE 'HOME' ENTERED AT 17:10:02 ON 02 SEP 2002)

FILE 'REGISTRY' ENTERED AT 17:10:13 ON 02 SEP 2002
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 6880 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:11:12 ON 02 SEP 2002
L4 367832 S CANCER OR CANCEROUS OR TUMOR OR NEOPLASTY
L5 27278 S TUBULIN OR MICROTUBULE?
L6 4391 S (MULTIPLE DRUG RESISTANCE) OR 'MDR'
L7 395706 S L4 OR L5 OR L6
L8 1071 S TRIAZOLOPYRIMIDIN?
L9 20 S L7 AND L8

=> s l3
L10 1686 L3

=> s l10 and l7
L11 12 L10 AND L7

=> s l11 not l9
L12 9 L11 NOT L9

=> d l12 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L12 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: 136:247598
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;

09/ 895,975

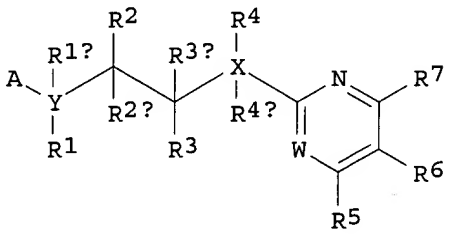
Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		

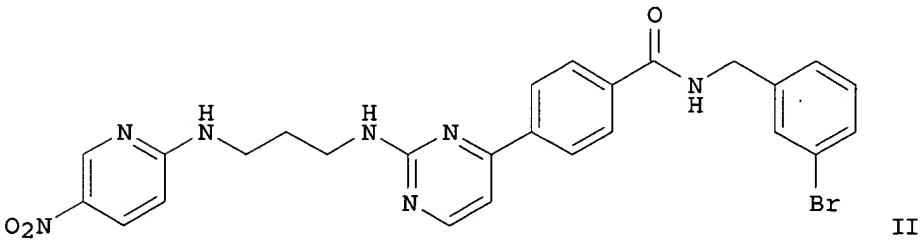
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001095026	A5	20020322	AU 2001-95026	20010906
PRIORITY APPLN. INFO.:			US 2000-230480P	P 20000906
			WO 2001-US42081	W 20010906

OTHER SOURCE(S): MARPAT 136:247598
GI



I



II

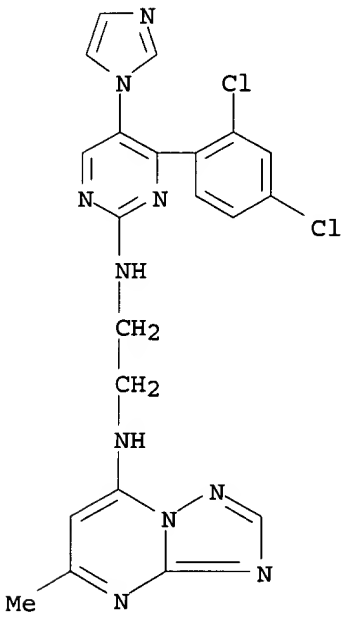
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl,

arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or **cancer** (no data).

IT **252935-96-9P**, 1,2-Ethanediamine, N-[4-(2,4-dichlorophenyl)-5-(1H-imidazol-1-yl)-2-pyrimidinyl]-N'-(5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252935-96-9 CAPLUS

CN 1,2-Ethanediamine, N-[4-(2,4-dichlorophenyl)-5-(1H-imidazol-1-yl)-2-pyrimidinyl]-N'-(5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:604841 CAPLUS

DOCUMENT NUMBER: 129:207231

TITLE: Coated implantable medical device

INVENTOR(S): Ragheb, Anthony O.; Bates, Brian L.; Fearnot, Neal E.; Kozma, Thomas G.; Voorhees, William D., III; Gershlick, Anthony H.

PATENT ASSIGNEE(S): Cook Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

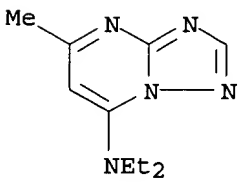
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9836784	A1	19980827	WO 1998-US3438	19980220
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866632	A1	19980909	AU 1998-66632	19980220
AU 737252	B2	20010816		
EP 968013	A1	20000105	EP 1998-908650	19980220
R: DE, ES, FR, GB, IT				
JP 2001512354	T2	20010821	JP 1998-536933	19980220

PRIORITY APPLN. INFO.: US 1997-38459P P 19970220
WO 1998-US3438 W 19980220

AB A coated implantable medical device includes a structure adapted for introduction into the vascular system, esophagus, trachea, colon, biliary tract, or urinary tract; at least one coating layer posited on one surface of the structure; and at least one layer of a bioactive material posited on at least a portion of the coating layer, wherein the coating layer provides for the controlled release of the bioactive material from the coating layer. In addn., at least one porous layer can be posited over the bioactive material layer, wherein the porous layer includes a polymer and provides for the controlled release of the bioactive material. Preferably, the structure is a coronary stent. The porous layer includes a polymer applied preferably by vapor or plasma deposition and provides a controlled release of the bioactive material. It is particularly preferred that the polymer is a polyamide, parylene or a parylene deriv., which is deposited without solvents, heat or catalysts, and merely by condensation of a monomer vapor. Schematic drawings of the medical device are depicted (no data).

IT **15421-84-8**, Trapidil
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coated implantable medical device)

RN 15421-84-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:679126 CAPLUS
DOCUMENT NUMBER: 125:309001

09/ 895,975

TITLE: Trapidil therapy of immunomodulated diseases
INVENTOR(S): Walch, Hatto
PATENT ASSIGNEE(S): Dr. Rentschler Arzneimittel Gmbh & Co, Germany
SOURCE: Ger. Offen., 5 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

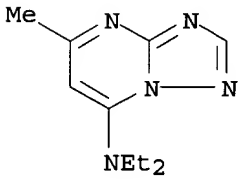
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19514048	A1	19961017	DE 1995-19514048	19950413
WO 9632111	A1	19961017	WO 1996-EP1037	19960311
W: CZ, HU, JP, PL, SK, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 820289	A1	19980128	EP 1996-907429	19960311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11503434	T2	19990326	JP 1996-530665	19960311
US 6015578	A	20000118	US 1997-945216	19971009

PRIORITY APPLN. INFO.: DE 1995-19514048 19950413
WO 1996-EP1037 19960311

AB Trapidil is an inhibitor of **tumor** necrosis factor-.alpha. and can be used for therapy of diseases modulated by this factor or to counteract the side effects of drugs eliciting its release. Several types of dosage forms are mentioned in which trapidil can be administered alone or in combination with other substances (e.g., interferon).

IT **15421-84-8**, Trapidil
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunomodulated diseases therapy by trapedil and dosage forms thereof)

RN 15421-84-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:542968 CAPLUS
DOCUMENT NUMBER: 117:142968
TITLE: Antiproliferative effect of trapidil on a PDGF-producing glioma cell line in vivo
AUTHOR(S): Kuratsu, Junichi; Takaki, Shuichi; Mihara, Yosuke; Kochi, Masato; Ushio, Yukitaka
CORPORATE SOURCE: Med. Sch., Kumamoto Univ., Kumamoto, 860, Japan
SOURCE: Biol. Aspects Brain Tumors, Proc. Nikko Brain Tumor Conf., 8th (1991), Meeting Date 1990, 469-73.
Editor(s): Tabuchi, Kazuo. Springer: Tokyo, Japan.
CODEN: 58CIAJ
DOCUMENT TYPE: Conference
LANGUAGE: English

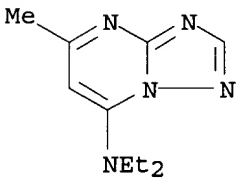
AB The authors previously reported that Trapidil, a PDGF antagonist, inhibits

the proliferation of a PDGF-producing glioma cell (U251MG) in vitro. The present study was undertaken to det. whether Trapidil exhibits inhibitory effects on the proliferation of PDGF-producing glioma cells in vivo. Trapidil was shown to inhibit the proliferation of a PDGF-producing glioma cell line. In these expts., the inhibitory effect of Trapidil on glioma using a nude mouse xenograft system was investigated. Daily i.p. administration of 40 mg/kg Trapidil significantly inhibited the growth of the PDGF-producing glioma U251MG. The labeling index, measured by BrdU intake by Trapidil-treated and untreated **tumor**, revealed a decrease of the growth fraction of Trapidil-treated tumors. On the other hand, the growth of PDGF-nonproducing glioma U105MG was not inhibited. These findings show that Trapidil inhibits the growth of PDGF-producing glioma in vivo.

IT **15421-84-8**, Trapidil
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of, against platelet-derived growth factor-forming glioma cells)

RN 15421-84-8 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:583606 CAPLUS

DOCUMENT NUMBER: 101:183606

TITLE: Role of platelets in **cancer** metastasis. Inhibitory effect of antiplatelet therapy on NK activity, and enhancing effect of PDGF [platelet derived growth factor] on **tumor** growth and metastasis

AUTHOR(S): Bando, Hiroyasu; Yamashita, Takashi; Matsunaga, Yohichi; Tsubura, Eiro

CORPORATE SOURCE: Sch. Med., Univ. Tokushima, Tokushima, Japan

SOURCE: Ketsueki to Myakkan (1984), 15(3), 258-62
CODEN: KTMYA3; ISSN: 0386-9717

DOCUMENT TYPE: Journal

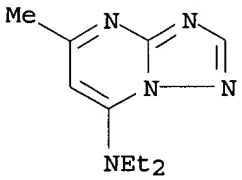
LANGUAGE: Japanese

AB **Tumor** chemotherapy and antiplatelet therapy had a synergistic effect on Lewis lung carcinoma in mice. The antiplatelet agents ticlopidine [55142-85-3], diltiazem [42399-41-7], dipyridamole [58-32-2], or trapidil [**15421-84-8**] inhibited the natural killer (NK) cells and also inhibited pulmonary metastasis. These agents-prevented the release of PDGF (platelet-derived growth factor) and appeared to be useful in **cancer** control.

IT **15421-84-8**
RL: BIOL (Biological study)
(as antiplatelet agent, natural killer cell and **tumor** metastasis inhibition by)

RN 15421-84-8 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)

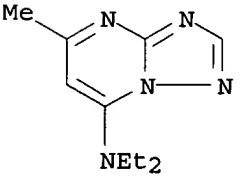


L12 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:167866 CAPLUS
DOCUMENT NUMBER: 100:167866
TITLE: Effects of antiplatelet agents on pulmonary metastases
AUTHOR(S): Bando, Hiroyasu; Yamashita, Takashi; Tsubura, Eiro
CORPORATE SOURCE: Sch. Med., Univ. Tokushima, Tokushima, 770, Japan
SOURCE: Gann (1984), 75(3), 284-91
CODEN: GANNA2; ISSN: 0016-450X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The role of platelets in **cancer** metastasis was studied by investigating the effects of the antiplatelet agents ticlopidine [55142-85-3], diltiazem [42399-41-7], dipyridamole [58-32-2] and trapidil [**15421-84-8**] on artificial and spontaneous pulmonary metastases in mice. These agents were tested at their optimal inhibitory doses on ADP-induced platelet aggregation; namely, 100 mg/kg for ticlopidine, 2 mg/kg for diltiazem, 180 mg/kg for trapidil and 60 mg/kg for dipyridamole. At these doses, trapidil caused moderate inhibition of thrombin-induced platelet aggregation in mice, but the other agents had only slight effects. Artificial pulmonary metastasis was produced by inoculation of Lewis lung carcinoma (LLC) or B16 melanoma (B16) cells into C57BL/6 mice. For induction of spontaneous pulmonary metastases, these **tumor** cells were implanted s.c. into the footpads of mice. The resulting primary tumors of LLC and B16 were removed 9-10 and 17 days later, resp. Artificial pulmonary metastases were inhibited significantly by all the antiplatelet agents tested. Spontaneous pulmonary metastases were markedly reduced only when these agents were given after removal of the primary **tumor**. The role of platelets is discussed with respect to thrombus formation in the lodgement of **tumor** cells and the participation of platelet-derived growth factor in the growth of metastatic foci.

IT **15421-84-8**
RL: BIOL (Biological study)
(neoplasm metastasis inhibition by, blood platelet aggregation inhibition in relation to)

RN 15421-84-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:132315 CAPLUS

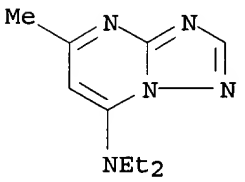
09/ 895,975

DOCUMENT NUMBER: 100:132315
TITLE: Effect of antiplatelet agents on the natural killer activity of spleen cells in mice. Metastasis of trypsin-treated Lewis lung **tumor**.
AUTHOR(S): Bando, Hiroyasu; Yamashita, Takashi; Kimura, Koichi; Tsubura, Eiro
CORPORATE SOURCE: Sch. Med., Univ. Tokushima, Tokushima, Japan
SOURCE: Igaku no Ayumi (1983), 127(6), 662-3
CODEN: IGAYAY; ISSN: 0367-7826
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The effects of antiplatelet agents such as ticlopidine [55142-85-3], dipyridamole [58-32-2], and trapidil [**15421-84-8**] on the metastasis of Lewis lung **tumor** were studied. These drugs, injected i.v. into mice bearing the **tumor**, increased **tumor** metastasis and decreased the activity of natural killer cells. Since these drugs are known to increase the concn. of cAMP in blood platelets, the drugs probably increase cAMP concns. in the natural killer cells likewise, and, as a result, they inhibit the activity of the latter.

IT **15421-84-8**
RL: BIOL (Biological study)
(neoplasm metastasis and spleen natural killer cells response to)

RN 15421-84-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



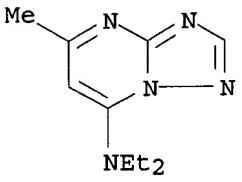
L12 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:609676 CAPLUS
DOCUMENT NUMBER: 95:209676
TITLE: Trapidil for the inhibition of **tumor** metastasis
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56110620	A2	19810901	JP 1980-14591	19800208
JP 58027773	B4	19830611		

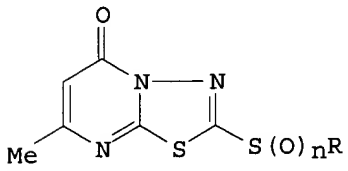
AB Formulations contg. trapidil (I) [**15421-84-8**] are used for the inhibition of **tumor** metastasis. Thus, I 50, lactose (an adequate amt.), cryst. cellulose 60, and potato starch 54 g were mixed, granulated, and dried. To this was added 2 g Mg stearate and the mixt. was made into tablets (200 mg/tablet). I (10 mg/kg, orally) given to mice bearing L-1210 leukemic cells prevented the metastasis in the spleen.

IT **15421-84-8**
RL: BIOL (Biological study)

(metastasis inhibiting formulation contg.)
RN 15421-84-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:83362 CAPLUS
DOCUMENT NUMBER: 88:83362
TITLE: Synthesis and antitumor activity of 2-alkanesulfinyl (or alkanesulfonyl)-7-methyl-5H-1,3,4-thiadiazolo[3,2-a]pyrimidin-5-ones
AUTHOR(S): Suiko, Masahito; Maekawa, Kazuyuki
CORPORATE SOURCE: Dep. Agric. Chem., Kyushu Univ., Fukuoka, Japan
SOURCE: Agric. Biol. Chem. (1977), 41(10), 2047-53
CODEN: ABCHA6
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, n=1
II, n=2

AB The title compds., I and II, were synthesized by m-chloroperbenzoic acid oxidn. of the corresponding thioethers produced by coupling of alkylthio-thiadiazoles with Et acetoacetate. Compds. with electrophilic substituents, such as alkylsulfoxide or alkylsulfone, at the 2-position had a strong repressing effect on the propagation of Ehrlich ascites **tumor** cells.
IT **2503-56-2**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of)
RN 2503-56-2 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-ol, 5-methyl- (9CI) (CA INDEX NAME)